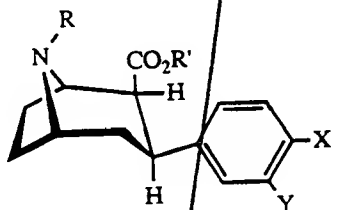


CLAIMS

What is claimed is:

1. An iodinated neuroprobe for mapping monoamine reuptake sites, the iodinated neuroprobe being of the formula:



wherein

R = a  $C_nH_{2n+1}$  group where  $n=0-6$ , an alkenyl group, a monofluoroalkyl group including  $^19F$  where  $n=18$  or  $19$ , or a  $^{13}C_nH_{2n+1}$  group where  $n=1-6$  and where  $m=11$  or  $14$  for at least one  $^{13}C$ ;

R' = a  $C_nH_{2n+1}$  group where  $n=0-6$ , a *p*-iodophenylmethyl group, a *p*-iodophenylethyl group, a phenylmethyl group, or a phenylethyl group;

X = an isotope of F, an isotope of Cl, an isotope of Br, an isotope of I,  $CH_3$ , or  $Sn(R''_1R''_2R''_3)$ ;

R''<sub>1</sub> = a  $C_nH_{2n+1}$  group where  $n=1-6$ , or an aryl group;

R''<sub>2</sub> = a  $C_nH_{2n+1}$  group where  $n=1-6$ , or an aryl group;

R''<sub>3</sub> = a  $C_nH_{2n+1}$  group where  $n=1-6$ , or an aryl group; and

Y = H only if X is an isotope of I, or R' is a *p*-iodophenylmethyl group, or R' is a *p*-iodophenylethyl group, else Y = an isotope of I.

2. The iodinated neuroprobe of claim 1 wherein the *p*-iodophenylmethyl group incorporates a radioactive isotope of iodine.

CLAIM 1

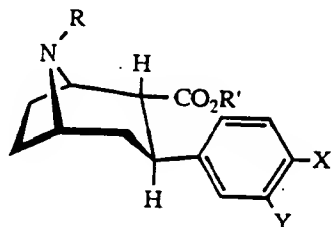
1 3. The iodinated neuroprobe of claim 1 wherein the  
2 p-iodophenylethyl group incorporates a radioactive isotope of  
3 iodine.

1 2. The iodinated neuroprobe of claim 1 wherein  $X = {}^{123}\text{I}$ .

1 3. The iodinated neuroprobe of claim 1 wherein  $X = {}^{125}\text{I}$ .

1 4. The iodinated neuroprobe of claim 1 wherein  $X = {}^{131}\text{I}$ .

1 7. An iodinated neuroprobe for mapping monoamine reuptake sites,  
2 the iodinated neuroprobe being of the formula:



4 wherein

5  $R =$  a  $\text{C}_n\text{H}_{2n+1}$  group where  $n=0-6$ , an alkenyl group, a monofluoroalkyl  
6 group including  ${}^n\text{F}$  where  $n=18$  or  $19$ , or a  ${}^m\text{C}_n\text{H}_{2n+1}$  group where  
7  $n=1-6$  and where  $m=11$  or  $14$  for at least one  ${}^m\text{C}$ ;

8  $R' =$  a  $\text{C}_n\text{H}_{2n+1}$  group where  $n=0-6$ , a p-iodophenylmethyl group, a  
9 p-iodophenylethyl group, a phenylmethyl group, or a  
10 phenylethyl group;

11  $X =$  an isotope of F, an isotope of Cl, an isotope of Br, an  
12 isotope of I,  $\text{CH}_3$ , or  $\text{Sn}(\text{R}''_1\text{R}''_2\text{R}''_3)$ ;

13  $\text{R}''_1 =$  a  $\text{C}_n\text{H}_{2n+1}$  group where  $n=1-6$ , or an aryl group;

14  $\text{R}''_2 =$  a  $\text{C}_n\text{H}_{2n+1}$  group where  $n=1-6$ , or an aryl group;

15  $R''_3 =$  a  $C_nH_{2n+1}$  group where  $n=1-6$ , or an aryl group; and  
16  $Y = H$  only if  $X$  is an isotope of  $I$ , or  $R'$  is a  $p$ -iodophenylmethyl  
17 group, or  $R'$  is a  $p$ -iodophenylethyl group, else  $Y =$  an isotope  
18 of  $I$ .

1 8. The iodinated neuroprobe of claim 7 wherein the  
2  $p$ -iodophenylmethyl group incorporates a radioactive isotope of  
3 iodine.

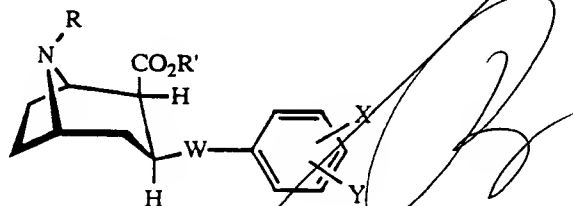
1 9. The iodinated neuroprobe of claim 7 wherein the  
2  $p$ -iodophenylethyl group incorporates a radioactive isotope of  
3 iodine.

1 ~~610~~. The iodinated neuroprobe of claim ~~7~~<sup>5</sup> wherein  $X = {}^{123}I$ .

1 ~~711~~. The iodinated neuroprobe of claim ~~7~~<sup>5</sup> wherein  $X = {}^{125}I$ .

1 ~~812~~. The iodinated neuroprobe of claim ~~7~~<sup>5</sup> wherein  $X = {}^{131}I$ .

1 13. An iodinated neuroprobe for mapping monoamine reuptake sites,  
2 the iodinated neuroprobe being of the formula:



5 R = a  $C_nH_{2n+1}$  group where  $n=0-6$ , an alkenyl group, a monofluoroalkyl  
6 group including  $^nF$  where  $n=18$  or  $19$ , or a  $^mC_nH_{2n+1}$  group where  
7  $n=1-6$  and where  $m=11$  or  $14$  for at least one  $^mC$ ;

8  $R' =$  a  $C_nH_{2n+1}$  group where  $n=0-6$ , a *p*-iodophenylmethyl group, a  
9 *p*-iodophenylethyl group, a phenylmethyl group, or a  
10 phenylethyl group;

11 X = an isotope of F, an isotope of Cl, an isotope of Br, an  
12 isotope of I,  $CH_3$ , or  $Sn(R''_1R''_2R''_3)$ ;

13  $R''_1 =$  a  $C_nH_{2n+1}$  group where  $n=1-6$ , or an aryl group;

14  $R''_2 =$  a  $C_nH_{2n+1}$  group where  $n=1-6$ , or an aryl group;

15  $R''_3 =$  a  $C_nH_{2n+1}$  group where  $n=1-6$ , or an aryl group;

16 Y = H only if X is an isotope of I, or  $R'$  is a *p*-iodophenylmethyl  
17 group, or  $R'$  is a *p*-iodophenylethyl group, else Y = an isotope  
18 of I; and

19 W = O, S,  $(CH_2)_n$ ,  $O(CH_2)_n$  where  $n=1-6$ ,

20 wherein X resides on a benzene ring of the formula at an  
21 ortho, meta, or para position with respect to W, and Y resides at  
22 any remaining position on the benzene ring.

1 14. The iodinated neuroprobe of claim 13 wherein the  
2 *p*-iodophenylmethyl group incorporates a radioactive isotope of  
3 iodine.

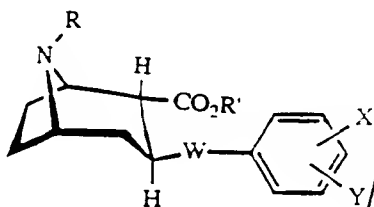
1 15. The iodinated neuroprobe of claim 13 wherein the  
2 *p*-iodophenylethyl group incorporates a radioactive isotope of  
3 iodine.

1 16. The iodinated neuroprobe of claim 13 wherein  $X = {}^{123}\text{I}$ .

1 17. The iodinated neuroprobe of claim 13 wherein  $X = {}^{125}\text{I}$ .

1 18. The iodinated neuroprobe of claim 13 wherein  $X = {}^{131}\text{I}$ .

1 19. An iodinated neuroprobe for mapping monoamine reuptake sites,  
2 the iodinated neuroprobe being of the formula:



4 wherein

5  $R =$  a  $\text{C}_n\text{H}_{2n+1}$  group where  $n=0-6$ , an alkenyl group, a monofluoroalkyl  
6 group including  ${}^n\text{F}$  where  $n=18$  or  $19$ , or a  ${}^m\text{C}_n\text{H}_{2n+1}$  group where  
7  $n=1-6$  and where  $m=11$  or  $14$  for at least one  ${}^m\text{C}$ ;

8  $R' =$  a  $\text{C}_n\text{H}_{2n+1}$  group where  $n=0-6$ , a *p*-iodophenylmethyl group, a  
9 *p*-iodophenylethyl group, a phenylmethyl group, or a  
10 phenylethyl group;

11  $X =$  an isotope of F, an isotope of Cl, an isotope of Br, an  
12 isotope of I,  $\text{CH}_3$ , or  $\text{Sn}(\text{R}''_1\text{R}''_2\text{R}''_3)$ ;

13  $\text{R}''_1 =$  a  $\text{C}_n\text{H}_{2n+1}$  group where  $n=1-6$ , or an aryl group;

14  $\text{R}''_2 =$  a  $\text{C}_n\text{H}_{2n+1}$  group where  $n=1-6$ , or an aryl group;

15  $\text{R}''_3 =$  a  $\text{C}_n\text{H}_{2n+1}$  group where  $n=1-6$ , or an aryl group;

16  $Y =$  H only if X is an isotope of I, or  $R'$  is a *p*-iodophenylmethyl  
17 group, or  $R'$  is a *p*-iodophenylethyl group, else  $Y =$  an isotope  
18 of I; and

19 W = O, S, (CH<sub>2</sub>)<sub>n</sub>, O(CH<sub>2</sub>)<sub>n</sub> where n=1-6,

20 wherein X resides on a benzene ring of the formula at an  
21 ortho, meta, or para position with respect to W, and Y resides at  
22 any remaining position on the benzene ring.

1 20. The iodinated neuroprobe of claim 19 wherein the  
2 p-iodophenylmethyl group incorporates a radioactive isotope of  
3 iodine.

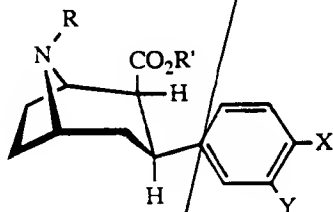
1 21. The iodinated neuroprobe of claim 19 wherein the  
2 p-iodophenylethyl group incorporates a radioactive isotope of  
3 iodine.

1 22. The iodinated neuroprobe of claim 19 wherein X = <sup>123</sup>I.

1 23. The iodinated neuroprobe of claim 19 wherein X = <sup>125</sup>I.

1 24. The iodinated neuroprobe of claim 19 wherein X = <sup>131</sup>I.

1 25. A precursor of a radiolabeled neuroprobe for mapping monoamine  
2 reuptake sites, the precursor being of the formula:



4 wherein

5 R = a  $C_nH_{2n+1}$  group where  $n=0-6$ , an alkenyl group, or a  
6 monofluoroalkyl group;

7 R' = a  $C_nH_{2n+1}$  group where  $n=0-6$ , a *p*-iodophenylmethyl group, a  
8 *p*-iodophenylethyl group, a phenylmethyl group, or a  
9 phenylethyl group;

10 X = F, Cl, Br, I,  $CH_3$ , or  $Sn(R''_1R''_2R''_3)$ ;

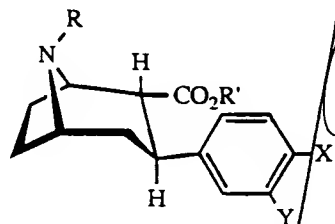
11 R''<sub>1</sub> = a  $C_nH_{2n+1}$  group where  $n=1-6$ , or an aryl group;

12 R''<sub>2</sub> = a  $C_nH_{2n+1}$  group where  $n=1-6$ , or an aryl group;

13 R''<sub>3</sub> = a  $C_nH_{2n+1}$  group where  $n=1-6$ , or an aryl group; and

14 Y = H only if X is I, or R' is a *p*-iodophenylmethyl group, or R'  
15 is a *p*-iodophenylethyl group, else Y = I.

1 26. A precursor of a radiolabeled neuroprobe for mapping monoamine  
2 reuptake sites, the precursor being of the formula:



4 wherein

5 R = a  $C_nH_{2n+1}$  group where  $n=0-6$ , an alkenyl group, or a  
6 monofluoroalkyl group;

7 R' = a  $C_nH_{2n+1}$  group where  $n=0-6$ , a *p*-iodophenylmethyl group, a  
8 *p*-iodophenylethyl group, a phenylmethyl group, or a  
9 phenylethyl group;

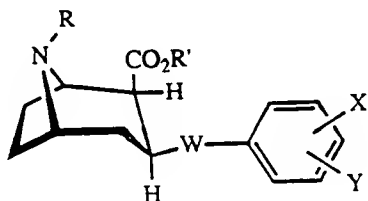
10 X = F, Cl, Br, I,  $CH_3$ , or  $Sn(R''_1R''_2R''_3)$ ;

11 R''<sub>1</sub> = a  $C_nH_{2n+1}$  group where  $n=1-6$ , or an aryl group;

12 R''<sub>2</sub> = a  $C_nH_{2n+1}$  group where  $n=1-6$ , or an aryl group;

13  $R''_3 =$  a  $C_nH_{2n+1}$  group where  $n=1-6$ , or an aryl group; and  
 14  $Y = H$  only if  $X$  is I, or  $R'$  is a *p*-iodophenylmethyl group, or  $R'$   
 15 is a *p*-iodophenylethyl group, else  $Y = I$ .

1 27. A precursor of a radiolabeled neuroprobe for mapping monoamine  
 2 reuptake sites, the precursor being of the formula:



4 wherein

5  $R =$  a  $C_nH_{2n+1}$  group where  $n=0-6$ , an alkenyl group, or a  
 6 monofluoroalkyl group;

7  $R' =$  a  $C_nH_{2n+1}$  group where  $n=0-6$ , a *p*-iodophenylmethyl group, a  
 8 *p*-iodophenylethyl group, a phenylmethyl group, or a  
 9 phenylethyl group;

10  $X = F, Cl, Br, I, CH_3$ , or  $Sn(R''_1R''_2R''_3)$ ;

11  $R''_1 =$  a  $C_nH_{2n+1}$  group where  $n=1-6$ , or an aryl group;

12  $R''_2 =$  a  $C_nH_{2n+1}$  group where  $n=1-6$ , or an aryl group;

13  $R''_3 =$  a  $C_nH_{2n+1}$  group where  $n=1-6$ , or an aryl group;

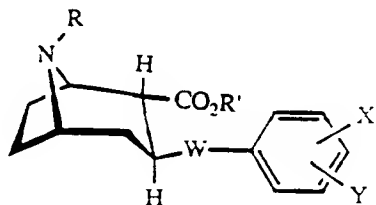
14  $Y = H$  only if  $X$  is I, or  $R'$  is a *p*-iodophenylmethyl group, or  $R'$   
 15 is a *p*-iodophenylethyl group, else  $Y = I$ ; and

16  $W = O, S, (CH_2)_n, O(CH_2)_n$  where  $n=1-6$ ,

17 wherein  $X$  resides on a benzene ring of the formula at an  
 18 ortho, meta, or para position with respect to  $W$ , and  $Y$  resides at  
 19 any remaining position on the benzene ring.



28. A precursor of a radiolabeled neuroprobe for mapping monoamine reuptake sites, the precursor being of the formula:



wherein

R = a  $C_nH_{2n+1}$  group where  $n=0-6$ , an alkenyl group, or a monofluoroalkyl group;

R' = a  $C_nH_{2n+1}$  group where  $n=0-6$ , a *p*-iodophenylmethyl group, a *p*-iodophenylethyl group, a phenylmethyl group, or a phenylethyl group;

X = F, Cl, Br, I, CH<sub>3</sub>, or Sn(R"<sub>1</sub>R"<sub>2</sub>R"<sub>3</sub>);

R"<sub>1</sub> = a  $C_nH_{2n+1}$  group where  $n=1-6$ , or an aryl group;

R"<sub>2</sub> = a  $C_nH_{2n+1}$  group where  $n=1-6$ , or an aryl group;

R"<sub>3</sub> = a  $C_nH_{2n+1}$  group where  $n=1-6$ , or an aryl group;

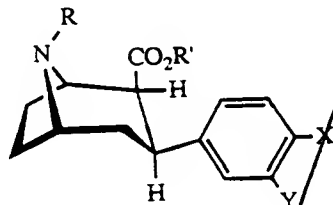
Y = H only if X is I, or R' is a *p*-iodophenylmethyl group, or R' is a *p*-iodophenylethyl group, else Y = I; and

W = O, S, (CH<sub>2</sub>)<sub>n</sub>, O(CH<sub>2</sub>)<sub>n</sub> where  $n=1-6$ ,

wherein X resides on a benzene ring of the formula at an ortho, meta, or para position with respect to W, and Y resides at any remaining position on the benzene ring.

29. A kit for preparing an iodinated neuroprobe for mapping monoamine reuptake sites, the kit comprising:

a precursor of the formula:



wherein

R = a C<sub>n</sub>H<sub>2n+1</sub> group where n=0-6, an alkenyl group, or a monofluoroalkyl group;

R' = a C<sub>n</sub>H<sub>2n+1</sub> group where n=0-6, a *p*-iodophenylmethyl group, a *p*-iodophenylethyl group, a phenylmethyl group, or a phenylethyl group;

X = F, Cl, Br, I, CH<sub>3</sub>, or Sn(R''<sub>1</sub>R''<sub>2</sub>R''<sub>3</sub>);

R''<sub>1</sub> = a C<sub>n</sub>H<sub>2n+1</sub> group where n=1-6, or an aryl group;

R''<sub>2</sub> = a C<sub>n</sub>H<sub>2n+1</sub> group where n=1-6, or an aryl group;

R''<sub>3</sub> = a C<sub>n</sub>H<sub>2n+1</sub> group where n=1-6, or an aryl group; and

Y = H only if X is I, or R' is a *p*-iodophenylmethyl group, or R' is a *p*-iodophenylethyl group, else Y = I; and  
an oxidizing agent,

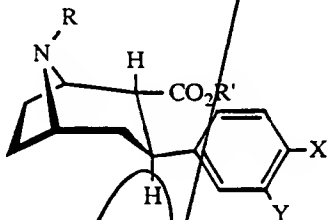
wherein the precursor and the oxidizing agent are to be reacted in the presence of a radioisotope source.

30. The kit of claim 29 wherein the radioisotope source is a solution of a salt of a radioactive isotope of iodine.

31. The kit of claim 29 wherein the radioisotope source is a reagent containing  $^{18}\text{F}$  of the formula  $\text{FC}_n\text{H}_{2n+1}\text{X}$  where  $n=0-6$  and X is a leaving group.

32. The kit of claim 29 wherein the radioisotope source is a reagent containing  $^{18}\text{F}$  of the formula  $\text{FC}_n\text{H}_{2n}\text{X}$  where  $n=0-6$  and X is a leaving group.

33. A kit for preparing an iodinated neuroprobe for mapping monoamine reuptake sites, the kit comprising:  
a precursor of the formula:



wherein

R = a  $\text{C}_n\text{H}_{2n+1}$  group where  $n=0-6$ , an alkenyl group, or a monofluoroalkyl group;

R' = a  $\text{C}_n\text{H}_{2n+1}$  group where  $n=0-6$ , a p-iodophenylmethyl group, a p-iodophenylethyl group, a phenylmethyl group, or a phenylethyl group;

X = F, Cl, Br, I,  $\text{CH}_3$ , or  $\text{Sn}(\text{R}''_1\text{R}''_2\text{R}''_3)$ ;

R''<sub>1</sub> = a  $\text{C}_n\text{H}_{2n+1}$  group where  $n=1-6$ , or an aryl group;

R''<sub>2</sub> = a  $\text{C}_n\text{H}_{2n+1}$  group where  $n=1-6$ , or an aryl group;

R''<sub>3</sub> = a  $\text{C}_n\text{H}_{2n+1}$  group where  $n=1-6$ , or an aryl group; and

Y = H only if X is I, or R' is a p-iodophenylmethyl group, or R' is a p-iodophenylethyl group, else Y = I; and  
an oxidizing agent,

18 wherein the precursor and the oxidizing agent are to be  
19 reacted in the presence of a radioisotope source.

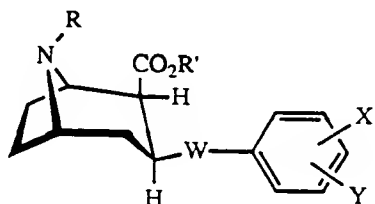
1 34. The kit of claim 33 wherein the radioisotope source is a  
2 solution of a salt of a radioactive isotope of iodine.

1 35. The kit of claim 33 wherein the radioisotope source is a  
2 reagent containing  $^m\text{C}_n\text{H}_{2n+1}\text{X}$  where  $n=0-6$  and X is a leaving group.

1 36. The kit of claim 33 wherein the radioisotope source is a  
2 reagent containing  $^{18}\text{F}$  of the formula  $\text{FC}_n\text{H}_{2n}\text{X}$  where  $n=0-6$  and X is a  
3 leaving group.

1 37. A kit for preparing an iodinated neuroprobe for mapping  
2 monoamine reuptake sites, the kit comprising:

3 a precursor of the formula:



5 wherein

6 R = a  $\text{C}_n\text{H}_{2n+1}$  group where  $n=0-6$ , an alkenyl group, or a  
7 monofluoroalkyl group;

8 R' = a  $\text{C}_n\text{H}_{2n+1}$  group where  $n=0-6$ , a p-iodophenylmethyl group, a  
9 p-iodophenylethyl group, a phenylmethyl group, or a  
10 phenylethyl group;

11 X = F, Cl, Br, I, CH<sub>3</sub>, or Sn(R"<sub>1</sub>R"<sub>2</sub>R"<sub>3</sub>);

12  $R''_1 =$  a  $C_nH_{2n+1}$  group where  $n=1-6$ , or an aryl group;  
13  $R''_2 =$  a  $C_nH_{2n+1}$  group where  $n=1-6$ , or an aryl group;  
14  $R''_3 =$  a  $C_nH_{2n+1}$  group where  $n=1-6$ , or an aryl group;  
15  $Y =$  H only if X is I, or  $R'$  is a *p*-iodophenylmethyl group, or  $R'$   
16 is a *p*-iodophenylethyl group, else  $Y = I$ ; and  
17  $W = O, S, (CH_2)_n, O(CH_2)_n$  where  $n=1-6$ ,  
18 wherein X resides on a benzene ring of the formula at an  
19 ortho, meta, or para position with respect to W, and Y resides at  
20 any remaining position on the benzene ring; and  
21 an oxidizing agent,  
22 wherein the precursor and the oxidizing agent are to be  
23 reacted in the presence of a radioisotope source.

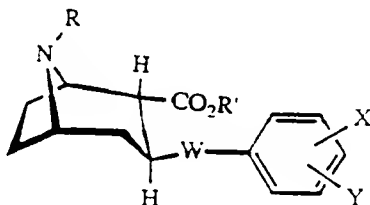
1 38. The kit of claim 37 wherein the radioisotope source is a  
2 solution of a salt of a radioactive isotope of iodine.

1 39. The kit of claim 37 wherein the radioisotope source is a  
2 reagent containing  ${}^mC_nH_{2n+1}X$  where  $n=0-6$  and X is a leaving group.

1 40. The kit of claim 37 wherein the radioisotope source is a  
2 reagent containing  ${}^{18}F$  of the formula  $FC_nH_{2n}X$  where  $n=0-6$  and X is a  
3 leaving group.

1 41. A kit for preparing an iodinated neuroprobe for mapping  
2 monoamine reuptake sites, the kit comprising:

3 a precursor of the formula:



5 wherein

6  $R =$  a  $C_nH_{2n+1}$  group where  $n=0-6$ , an alkenyl group, or a  
7 monofluoroalkyl group;

8  $R' =$  a  $C_nH_{2n+1}$  group where  $n=0-6$ , a *p*-iodophenylmethyl group, a  
9 *p*-iodophenylethyl group, a phenylmethyl group, or a  
10 phenylethyl group;

11  $X =$  F, Cl, Br, I,  $CH_3$ , or  $Sn(R''_1R''_2R''_3)$ ;

12  $R''_1 =$  a  $C_nH_{2n+1}$  group where  $n=1-6$ , or an aryl group;

13  $R''_2 =$  a  $C_nH_{2n+1}$  group where  $n=1-6$ , or an aryl group;

14  $R''_3 =$  a  $C_nH_{2n+1}$  group where  $n=1-6$ , or an aryl group;

15  $Y =$  H only if X is I, or  $R'$  is a *p*-iodophenylmethyl group, or  $R'$   
16 is a *p*-iodophenylethyl group, else  $Y =$  I; and

17  $W =$  O, S,  $(CH_2)_n$ ,  $O(CH_2)_n$  where  $n=1-6$ ,

18 wherein X resides on a benzene ring of the formula at an  
19 ortho, meta, or para position with respect to W, and Y resides at  
20 any remaining position on the benzene ring; and

21 an oxidizing agent,

22 wherein the precursor and the oxidizing agent are to be  
23 reacted in the presence of a radioisotope source.

1 42. The kit of claim 41 wherein the radioisotope source is a  
2 solution of a salt of a radioactive isotope of iodine.

1 43. The kit of claim 41 wherein the radioisotope source is a  
2 reagent containing  ${}^m\text{C}_n\text{H}_{2n+1}\text{X}$  where  $n=0-6$  and X is a leaving group.

1 44. The kit of claim 41 wherein the radioisotope source is a  
2 reagent containing  ${}^{18}\text{F}$  of the formula  $\text{FC}_n\text{H}_{2n}\text{X}$  where  $n=0-6$  and X is a  
3 leaving group.

1 45. The kit of claim 29, 33, 37, or 41 wherein the oxidizing agent  
2 is selected from the group consisting of perchloric acid, performic  
3 acid, peracetic acid, hydrogen peroxide, hydrogen peroxide with  
4 lactoperoxidase, 1,3,4,6-tetrachloro-3 $\alpha$ ,6 $\alpha$ -diphenylglycouril, and  
5 N-chloro-4-methylbenzenesulfonamide sodium salt.